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NEWS 17	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 18	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 19	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 20	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS 21	FEB 28	TOXCENTER reloaded with enhancements
NEWS 22	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS 23	MAR 01	INSPEC reloaded and enhanced
NEWS 24	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 25	MAR 08	X.25 communication option no longer available after June 2006

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FILE COVERS 1907 - 10 Mar 2006  VOL 144 ISS 12
FILE LAST UPDATED: 9 Mar 2006  (20060309/ED)

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=> s melanocortin?
L1         2419 MELANOCORTIN?

=> s receptor
          640732 RECEPTOR
          587626 RECEPTORS
L2        762593 RECEPTOR
                 (RECEPTOR OR RECEPTORS)

=> s l1 and l2
L3         2149 L1 AND L2

=> s mc-4 and receptor
           33623 MC
            2058 MCS
           35103 MC
                 (MC OR MCS)
         5281421 4
             133 MC-4
                 (MC(W)4)
          640732 RECEPTOR
          587626 RECEPTORS
          762593 RECEPTOR
                 (RECEPTOR OR RECEPTORS)
L4           40 MC-4 AND RECEPTOR

=> s l3 or l4
L5         2156 L3 OR L4

=> d obesity
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CBIB -------- AN, plus Compressed Bibliographic Data
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DALL -------- ALL, delimited (end of each field identified)
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FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
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              e.g., D SCAN or DISPLAY SCAN)
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IABS -------- ABS, indented with text labels
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IBIB -------- BIB, indented with text labels
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ISTD -------- STD, indented with text labels

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OIBIB ------- OBIB, indented with text labels

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SIBIB ------- IBIB, no citations

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HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
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to view a specified Accession Number.
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ENTER DISPLAY FORMAT (BIB):end
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=> s obesity
         35354 OBESITY
            72 OBESITIES
L6       35357 OBESITY
                 (OBESITY OR OBESITIES)

=> s diabetes
L7      108621 DIABETES

=> s (l6 or l6) and l5
L8         632 (L6 OR L6) AND L5

=> d ibib abs 1-20
```

L8 ANSWER 1 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:207048 HCAPLUS
TITLE: Discovery of Orally Efficacious Melanin-Concentrating Hormone **Receptor**-1 Antagonists as Antiobesity Agents. Synthesis, SAR, and Biological Evaluation of Bicyclo[3.1.0]hexyl Ureas
AUTHOR(S): McBriar, Mark D.; Guzik, Henry; Shapiro, Sherry; Paruchova, Jaroslava; Xu, Ruo; Palani, Anandan; Clader, John W.; Cox, Kathleen; Greenlee, William J.; Hawes, Brian E.; Kowalski, Timothy J.; O'Neill, Kim; Spar, Brian D.; Weig, Blair; Weston, Daniel J.; Farley, Constance; Cook, John
CORPORATE SOURCE: Department of Chemical Research and Department of Cardiovascular and Metabolic Diseases, Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA
SOURCE: Journal of Medicinal Chemistry ACS ASAP
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Melanin-concentrating hormone (MCH) is a cyclic, nonadecapeptide expressed in the CNS of all vertebrates that regulates feeding behavior and energy homeostasis via interaction with the central **melanocortin** system. Regulation of this interaction results in modulation of food intake and body weight gain, demonstrating significant therapeutic potential for the treatment of **obesity**. The MCH-1 **receptor** (MCH-R1) has been identified as a key target in MCH regulation, as small mol. antagonists of MCH-R1 have demonstrated activity in vivo. Herein, we document our research in a bicyclo[3.1.0]hexyl urea series with particular emphasis on structure-activity relationships and optimization of **receptor** occupancy, measured both in vitro and via an ex vivo binding assay following an oral dosing regimen. Several compds. have been tested in vivo and exhibit oral efficacy in relevant acute rodent feeding models. In particular, 24u has proven efficacious in chronic rodent models of **obesity**, showing a statistically significant reduction in food intake and body weight over a 28 day study.

L8 ANSWER 2 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:198614 HCAPLUS
TITLE: Cloning and functional analysis of **melanocortin 4 receptor** mutation gene F261S
AUTHOR(S): Shao, Xinyu; Jia, Weiping; Cai, Shubing; Fang, Qichen; Zhang, Rong; Lu, Junxi; Xiang, Kunsan
CORPORATE SOURCE: Affiliated Sixth Hospital, Shanghai Jiaotong University, Shanghai, 200233, Peop. Rep. China
SOURCE: Zhonghua Yixue Zazhi (Beijing, China) (2005), 85(6), 366-369
CODEN: CHHTAT; ISSN: 0376-2491
PUBLISHER: Zhonghua Yixuehui Zazhishe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB The function change of the **melanocortin 4 receptor** (MC4R) protein with mutation of F261S was evaluated. Human embryonic cells of HEK293 were cultured. Wild-type genomic DNA and F261S mutation human **melanocortin 4 receptor** genes from the genomic DNA of aproband of homozygotic F612 mutation were amplified and cloned into a topo-TA eukaryotic expression plasmid vector. After the wild-type and F261S mutated proteins were expressed in HEK293 cells, α-MSH (10-11-10-5 mmol/L) was added, then the intracellular cAMP was detected with dual luciferase reporter assay system. When the concentration of α-MSH added was 10-9-10-8 mmol/L, the intracellular α-MSH concentration of the cells transfected with wild-type MC4R gene was significantly higher than that of the cells transfected with F261S mutation gene (P<0.05). When the concentration of α-MSH added was 10-7-10-5 mmol/L, the differences became even more significant (all P<0.01). The novel MC4R mutation F261S undermined the signal transduction, and it might be the possible reason leading to monogenic mutation **obesity** in Chinese.

L8 ANSWER 3 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:198063 HCAPLUS
TITLE: A POMC variant implicates β-melanocyte-stimulating hormone in the control of human energy balance
AUTHOR(S): Lee, Yung Seng; Challis, Ben G.; Thompson, Darren A.; Yeo, Giles S. H.; Keogh, Julia M.; Madonna, Michael E.; Wraight, Vicki; Sims, Matthew; Vatin, Vincent; Meyre, David; Shield, Julian; Burren, Christine; Ibrahim, Zala; Cheetham, Tim; Swift, Peter; Blackwood, Anthea; Hung, Chiao-Chien Connie; Wareham, Nicholas J.; Froguel, Philippe; Millhauser, Glenn L.; O'Rahilly, Stephen; Farooqi, I. Sadaf
CORPORATE SOURCE: University Department of Clinical Biochemistry, Addenbrooke's Hospital, Cambridge Institute for Medical Research, Cambridge, CB2 2XY, UK
SOURCE: Cell Metabolism (2006), 3(2), 135-140
CODEN: CMEEB5; ISSN: 1550-4131
PUBLISHER: Cell Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The **melanocortin-4 receptor** (MC4R) plays a critical role in the control of energy balance. Of its two pro-opiomelanocortin (POMC)-derived ligands, α- and β-MSH, the majority of attention has focused on α-MSH, partly reflecting the absence of β-MSH in rodents. We screened the POMC gene in 538 patients with severe, early-onset **obesity** and identified five unrelated probands who were heterozygous for a rare missense variant in the region encoding β-MSH, Tyr221Cys. This frequency was significantly increased ($p < 0.001$) compared to the general UK Caucasian population and the variant cosegregated with **obesity**/overweight in affected family members. Compared to wild-type β-MSH, the variant peptide was impaired in its ability to bind to and activate signaling from the MC4R. Obese children carrying the Tyr221Cys variant were hyperphagic and showed increased linear growth, both of which are features of MC4R deficiency. These studies support a role for β-MSH in the control of human energy homeostasis.

L8 ANSWER 4 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:165649 HCAPLUS
TITLE: Preparation of piperidine derivatives as **melanocortin-4 receptor** agonists
INVENTOR(S): Barakat, Khaled J.; Guo, Liangqin; Liu, Jian; Nargund, Ravi P.; Sebhat, Iyassu K.; Ye, Zhixiong
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006019787	A2	20060223	WO 2005-US24806	20050713

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-588494P P 20040716

AB The title piperidine derivs. I [wherein m = 0-2; n = 1-2; R1 and R2 = independently halo, CF3, CH3, and OMe; R3 and R4 = independently halo, CF3, CN, alkyl, alkoxy, etc.; R5 = OH, halo, alkyl, alkoxy, etc.], or pharmaceutically acceptable salts thereof were prepared as agonists of the human **melanocortin-4 receptors** (MCR-4). For example, II was prepared in a multi-step synthesis. The title compds. showed IC50 less than 10 μM against MCR-4. Formulations as hard gelatin capsule have been described. The compds. are useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MCR-4, such as **obesity**, diabetes, male or female sexual dysfunction.

L8 ANSWER 5 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:164650 HCAPLUS
TITLE: Acylated piperidine derivatives as **melanocortin-4 receptor** agonists
INVENTOR(S): Bakshi, Raman K.; Dellureficio, James P.; Nargund, Ravi P.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006020277	A2	20060223	WO 2005-US25505	20050715

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-589089P P 20040719

AB Certain novel N-acylated piperidine derivs. are agonists of the human **melanocortin receptor**(s) and, in particular, are selective agonists of the human **melanocortin-4 receptor** (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as **obesity**, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction.

L8 ANSWER 6 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:115974 HCAPLUS
TITLE: The importance of acclimatisation and habituation to experimental conditions when investigating the anorectic effects of gastrointestinal hormones in the rat
AUTHOR(S): Abbott, C. R.; Small, C. J.; Sajedi, A.; Smith, K. L.; Parkinson, J. R. C.; Broadhead, L. L.; Ghatei, M. A.; Bloom, S. R.
CORPORATE SOURCE: Endocrine Unit, Imperial College London, Hammersmith Campus, London
SOURCE: International Journal of Obesity (2006), 30(2), 288-292
CODEN: IJOBDP; ISSN: 0307-0565
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective:Peptide YY3-36 (PYY3-36), glucagon-like peptide-1 (GLP-1), oxyntomodulin and cholecystokinin (CCK) are gastrointestinal-derived hormones that are released postprandially in proportion to the amount of calories ingested. All significantly reduce food intake following peripheral administration to rodents. We have investigated the effect of handling, exposure to a novel environment or to environmental enrichment on the anorectic effect of these gut hormones.Results:Results suggest that the transfer of a rat into a novel environment (cage change) inhibits the anorectic response to peripherally administered PYY3-36 and oxyntomodulin (1 h food intake reduction (% saline control): PYY/home cage 82.3±5.9%, P<0.05; PYY/clean cage 103.4±9.7%; oxyntomodulin/home cage 71.6±12.1%, P<0.05; oxyntomodulin/clean cage 103.0±8.5%) and attenuates the anorectic response to GLP-1 and CCK (1 h food intake reduction (% saline control): GLP-1/home cage 68.8±6.4%, P<0.01; GLP-1/clean cage 80.0±9.3%; CCK/home cage 49.8±6.2%, P<0.001; CCK/clean cage 69.4±10.6%, P<0.05). We have also observed that exposure to a novel environment does not alter anorectic effect of peripherally administered **melanocortin** 3/4 **receptor** agonist, melanotan II (MTII) (1 h food intake reduction (% saline control): MTII/home cage 32.0±6.3%, P<0.001; MTII/clean cage 24.8±4.2%, P<0.001). The attenuation in food intake observed following exposure to a novel environment can be attributed, in part, to a significant reduction in the food intake of the saline treated animals. In a further study, the anorectic effect of peripherally administered PYY3-36 is attenuated in unhandled rats (88±4.2% saline control, P=ns) or rats exposed to environmental enrichment (103.3±9.7% saline control, P=ns), but not in animals that were handled extensively prior to the study (80.1±7.3% saline control, P<0.05).Conclusion:These studies highlight the importance of handling, acclimatisation and habituation of rodents to exptl. conditions prior to investigating the ability of gut hormones to alter food intake.International Journal of **Obesity** (2006) 30, 288-292.

L8 ANSWER 7 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:115969 HCAPLUS
TITLE: Screening for **melanocortin-4 receptor** mutations in a cohort of Belgian morbidly obese adults and children
AUTHOR(S): Beckers, S.; Mertens, I.; Peeters, A.; Van Gaal, L.; Van Hul, W.
CORPORATE SOURCE: Department of Medical Genetics, University and University Hospital Antwerp, Wilrijk, Belg.
SOURCE: International Journal of Obesity (2006), 30(2), 221-225
CODEN: IJOBDP; ISSN: 0307-0565
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Objective:To investigate whether pathogenic **melanocortin-4 receptor** (MC4R) mutations are a common cause of **obesity** in Belgium.Design:Cross-sectional mutation anal.Subjects:In total, 95 morbidly obese adults (mean age 44.02±11.35 years; mean BMI 47.87±4.17 kg/m2) and 123 obese children and adolescents were screened for mutations in MC4R (mean age 16.56±2.58 years; BMI>95th percentile for age and sex; mean % overweight 170.86±23.63).Measurements:A series of anthropometric (e.g. weight, height, waist, hip), biochem. and clin. measurements were performed on all subjects. The entire coding region of MC4R was screened using DHPLC, a highly sensitive and specific method for mutation anal. Direct sequencing was performed when the chromatogram deviated from the WT pattern.Results:Mutation screening of a cohort of Belgian obese adults and children did not detect any pathogenic mutations as only the previously described polymorphisms Val103Ile, Thr112Met and Ile251Leu were detected.Conclusion:Pathogenic mutations in MC4R are not a common cause of **obesity** in a Belgian population of obese adults, children and adolescents.International Journal of **Obesity** (2006) 30, 221-225.

L8 ANSWER 8 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:112887 HCAPLUS
TITLE: The rise, fall, and resurrection of the ventromedial hypothalamus in the regulation of feeding behavior and body weight
AUTHOR(S): King, Bruce M.
CORPORATE SOURCE: Department of Psychology, University of New Orleans, New Orleans, LA, 70148
SOURCE: Physiology & Behavior (2006), 87(2), 221-244
CODEN: PHBHA4; ISSN: 0031-9384
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Early researchers found that lesions of the ventromedial hypothalamus (VMH) resulted in hyperphagia and **obesity** in a variety of species including humans, which led them to designate the VMH as the brain's "satiety center. " Many researchers later dismissed a role for the VMH in feeding behavior when Gold claimed that lesions restricted to the VMH did not result in overeating and that **obesity** was observed only with lesions or knife cuts that extended beyond the borders of the VMH and damaged or severed the ventral noradrenergic bundle (VNAB) or paraventricular nucleus (PVN). However, anatomical studies done both before and after Gold's study did not replicate his results with lesions, and in nearly every published direct comparison of VMH lesions vs. PVN or VNAB lesions, the group with VMH lesions ate substantially more food and gained twice as much weight Several other important differences have also been found between VMH and both PVN and VNAB lesion-induced **obesity**. Concerns regarding (a) motivation to work for food and (b) the effects of nonirritative lesions have also been addressed and answered in many studies. Lesion studies with weanling rats and adult pair-tube-fed rats, as well as recent studies of knockout mice deficient in the orphan nuclear **receptor** steroidogenic factor 1, indicate that VMH lesion-induced **obesity** is in large part a metabolic **obesity** (due to autonomic nervous system disorders) independent of hyperphagia. However, there is ample evidence that the VMH also plays a primary role in feeding behavior. Neuroimaging studies in humans have shown a marked increase in activity in the area of the VMH during feeding. The VMH has a large population of glucoresponsive neurons that dynamically respond to blood glucose levels and numerous histamine, dopamine, serotonin, and GABA neurons that respond to feeding-related stimuli. Recent studies have implicated **melanocortins** in the VMH regulation of feeding behavior: food intake decreases when arcuate nucleus pro-opiomelanocortin (POMC) neurons activate VMH brain-derived neurotrophic factor (BDNF) neurons. Moderate hyperphagia and **obesity** have also been observed in female rats with damage to the efferent projections from the posterodorsal amygdala to the VMH. Hypothalamic **obesity** can result from damage to either the POMC or BDNF neurons. The concept of hypothalamic feeding and satiety centers is outdated and unnecessary, and progress in understanding hypothalamic mechanisms of feeding behavior will be achieved only by appreciating the different types of neural and blood-borne information received by the various nuclei, and then attempting to determine how this information is integrated to obtain a balance between energy intake and energy output.

L8 ANSWER 8 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 9 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:109432 HCAPLUS
TITLE: **Obesity**-associated mutations in the human **melanocortin-4 receptor** gene
AUTHOR(S): MacKenzie, Robert G.
CORPORATE SOURCE: Department of Psychiatry and Behavioral Neurosciences, Wayne State University School of Medicine, Detroit, MI, 48201, USA
SOURCE: Peptides (New York, NY, United States) (2006), 27(2), 395-403
CODEN: PPTDD5; ISSN: 0196-9781
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Mutations in the human **melanocortin-4 receptor** (MC4R) gene have been associated with severe **obesity**. Many of the mutations result in partial or complete loss-of-function based on the nature of the mutation or the function of mutated **receptors** when tested in heterologous expression systems. This review discusses the role of MC4R in the central regulation of body weight, the pathogenic mechanisms of the mutations, and the validity of MC4R as an anti-**obesity** drug target.
REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:109428 HCAPLUS
TITLE: **Melanocortin-4 receptors**, β-MSH and leptin: Key elements in the satiety pathway
AUTHOR(S): Harrold, Joanne A.; Williams, Gareth
CORPORATE SOURCE: Neuroendocrine and Obesity Biology Unit, Department of Medicine, University of Liverpool, Liverpool, L69 3GA, UK
SOURCE: Peptides (New York, NY, United States) (2006), 27(2), 365-371
CODEN: PPTDD5; ISSN: 0196-9781
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This paper reviews aspects of our research, focusing on the role of the **melanocortin** system in the central regulation of feeding and energy balance, which was begun in 1997. It describes data from successive physiol. studies, concerning the identity of the appetite-regulating **melanocortin receptor**, **melanocortin-4 receptor** (MC4R) regulation with altered nutritional status, the role of MC4R in dietary **obesity** and the identity of the endogenous MC4R ligand.
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:109427 HCAPLUS
TITLE: Circumventing central leptin resistance: Lessons from central leptin and POMC gene delivery
AUTHOR(S): Zhang, Yi; Scarpace, Philip J.
CORPORATE SOURCE: Geriatric Research, Education and Clinical Center, Department of Veterans Affairs Medical Center, Gainesville, FL, 32608-1197, USA
SOURCE: Peptides (New York, NY, United States) (2006), 27(2), 350-364
CODEN: PPTDD5; ISSN: 0196-9781
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We identified that leptin resistance in aged-obese rats has both peripheral and central components. The central resistance is characterized by diminished hypothalamic leptin **receptors** and impaired leptin signal transduction. We developed a new model of leptin-induced leptin resistance in which application of the central leptin gene delivery produces unabated hypothalamic leptin over-expression. The chronic central elevation of leptin ppts. leptin resistance in young animals devoid of **obesity** and exacerbates it in mature or aged animals with **obesity**. Despite leptin resistance, our aged obese, DIO, and leptin-induced leptin resistant rats were fully responsive to central pharmacol. **melanocortin** activation. We propose that the central leptin resistance resides between leptin **receptor** and **melanocortin receptor** activation. Our central POMC gene therapy overcame leptin resistance, producing weight and fat loss and improved insulin sensitivity in obese Zucker and aged rats. This success highlights the central **melanocortin** system as a useful drug target for combating **obesity**.
REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:109420 HCAPLUS
TITLE: The **melanocortin** system and energy balance
AUTHOR(S): Butler, Andrew A.
CORPORATE SOURCE: Pennington Biomedical Research Center, Louisiana State University System, Baton Rouge, LA, 70808, USA
SOURCE: Peptides (New York, NY, United States) (2006), 27(2), 281-290
CODEN: PPTDD5; ISSN: 0196-9781
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The **melanocortins**, a family of peptides produced from the post-translational processing of pro-opiomelanocortin (POMC), regulate ingestive behavior and energy expenditure. Loss of function mutations of genes encoding POMC, or of either of two **melanocortin receptors** expressed in the central nervous system (MC3R, MC4R), are associated with **obesity**. The analyses of MC4R knockout mice indicate that activation of this **receptor** is involved in the regulation of appetite, the adaptive metabolic response to excess caloric consumption, and neg. energy balance associated with cachexia induced by cytokines. In contrast, MC3R knockout mice exhibit a normal, or even exaggerated, response to signals that induce a state of neg. energy balance. However, loss of the MC3R also results in an increase in adiposity. This article discusses the regulation of energy balance by the **melanocortins**. Published and newly presented data from studies analyzing of energy balance of MC3R and MC4R knockout mice indicate that increased adiposity observed in both models involves an imbalance in fat intake and oxidation

REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
0 - 4; y = 0, 1], their acid addn. salts as well as their hydrates or solvates, procedures for their prepn. and their therapeutic applications. The procedure for their prepn. is characterized by reductive amination of amide II with ketones. Thus, tropanamine III·HCl was prepd. from N-Boc-tropinone via reductive amination with N-[8-(4-chloro-D-phenylalanyl)-8-azabicyclo[3.2.1]oct-8-yl]-N-cyclohexyl-N',N'-diethylurea in CH2Cl2 contg. Na(AcO)3BH and N-deprotection with aq. HCl. The agonistic activity of vs. **melanocortin receptors** was detd. [IC50 = 770 nM vs. MC3 and IC50 = 150 nM vs. MC4].

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:100777 HCAPLUS
DOCUMENT NUMBER: 144:192411
TITLE: Preparation of aminotropane derivatives and their therapeutic applications
INVENTOR(S): Braun, Alain; Cornet, Bruno; Courtemanche, Gilles; Crespin, Olivier; Pascal, Cecile
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 52 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2873693	A1	20060203	FR 2004-8372	20040729
WO 2006021657	A1	20060302	WO 2005-FR1856	20050720

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: FR 2004-8372 A 20040729

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to aminotropane derivs. I [Ra, Ra', R5 = H, alkyl, cycloalkyl; R1 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl; R2 = (CH2)x(CO)y, (CO)y(CH2)x; Y = H, OH, alkyl, cycloalkyl, alkoxy, aryl, heteroaryl, NR11R12; R3 = 1 to 3 groups chosen among halogen, alkyl, cycloalkyl, OR, NRR', CO-NRR', NR-CO-R', NR-CO-NRR', NR-COOR', NO2, CN, CO2R; R4 = Z1, Z2, Z3 or Z4, A-R18, A-CH=N-R19, A-N(R20)-A'-R19, A-CO-N(R20)-A'-R19, A-CH(NH2)-R19, A-N(R20)-COO-A', (CH2)6-heteroaryl; R11, R12 = H, alkyl, cycloalkyl, alkoxy, NR13R14; NR11R12 = mono- or bicyclic 4- to 10-membered ring (optionally containing 1 - 3 addnl. heteroatoms, 1 - 3 ethylenic or acetylenic bonds); R13, R14 = H, alkyl, cycloalkyl, alkoxy; NR13R14 = mono- or bicyclic 4- to 10-membered ring; R19 = H, OH, Ph, CH2Ph, heteroaryl; R20 = H, CH2Ph; R, R' = alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl; A, A' = linear or branched alkyl; U, V, W = N, CH2 chain; X1 = (CH2)a; X2 = (CH2)r; a, p = 0 - 3; m = 0 - 2; r = 1 - 3; s= 0, 1; x =

L8 ANSWER 14 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:100774 HCAPLUS
DOCUMENT NUMBER: 144:192495
TITLE: Preparation of aminopiperidines, particularly aminopiperidino-D-phenylalanine derivatives, as **melanocortin receptor** agonists
INVENTOR(S): Braun, Alain; Cornet, Bruno; Courtemanche, Gilles; Crespin, Olivier; Fett, Eykmar; Pascal, Cecile
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 62 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2873691	A1	20060203	FR 2004-8370	20040729
WO 2006021656	A2	20060302	WO 2005-FR1855	20050720

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: FR 2004-8370 A 20040729

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Ra, Ra' = independently H, cyclo/alkyl; R1 = H, cyclo/heterocyclo/alkyl; aryl; R2 = (CH2)m-(CO)n-Y, (CO)n-(CH2)m-Y; m = 0-4; n = 0-1; Y = H, OH, cyclo/alkyl, heteroaryl, etc.; R3 = independently halo, cyclo/alkyl, OH and derivs., NH2 and derivs., etc.; R5 = H, alkyl; R4 = (un)substituted tetrahydrofuranyl, cyclopentyl, adamantyl, etc.; and their free bases, and acid addition salts, and their hydrates and solvates] were prepared as ligands, particularly agonists, of **melanocortin** MC3 and/or MC4 **receptors**. Thus, reductive amination of 3-quinuclidinone•HCl with amine II (preparation given) and acidulation with HCl gave aminopiperidine salt III•xHCl (m.p. = 169°). In a radioligand assay, I exhibited binding affinity towards MC3 and MC4 **receptors** [IC50 for III = 300 nM towards MC4 **receptor**]. III displayed an EC50 of 376 nM and 30 nM towards MC3 and MC4 **receptors** in a test evaluating the agonistic activity by monitoring the cAMP formation stimulated by MC3 or MC4 **receptors**. I are useful for treating **obesity**, diabetes, and sexual

L8 ANSWER 14 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
dysfunctions.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 15 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:100772 HCAPLUS
DOCUMENT NUMBER: 144:192494
TITLE: Preparation of oxopiperidines, particularly piperidino-D-phenylalanine derivatives, as **melanocortin receptor** agonists
INVENTOR(S): Braun, Alain; Courtemanche, Gilles; Crespin, Olivier; Fett, Eykmar; Pascal, Cecile
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: Fr. Demande, 59 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2873690	A1	20060203	FR 2004-8369	20040729
WO 2006021655	A2	20060302	WO 2005-FR1854	20050720

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: FR 2004-8369 A 20040729

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = (CH2)n; n = 0-1; Ra, Ra', Rb, Rb' = independently H, cyclo/alkyl; or Rb, Rb' can form a bridge together with the carbons they are attached; R1 = cyclo/alkyl; R2 = heteroaryl; R3 = 1-3 groups independently selected from halo, cyclo/alkyl, OH and derivs., NH2 and derivs., etc.; R5 = H, cyclo/alkyl; R4 = substituted tetrahydrofuranyl, cyclopentyl, adamantyl, etc.; their free bases, and acid addition salts, and their hydrates and solvates] were prepared as ligands, particularly agonists, of **melanocortin** MC3 and/or MC4 **receptors**. Thus, II (m.p. = 60°) was prepared by reductive amination of cyclohexanone with amine III (preparation given). In a radioligand assay, I exhibited binding affinity towards MC3 and MC4 **receptors** [IC50 for II = 250 nM towards MC4 **receptor**]. II displayed an EC50 of 209 nM and 52 nM towards MC3 and MC4 **receptors** in a test

L8 ANSWER 15 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
evaluating the agonistic activity by monitoring the cAMP formation stimulated by MC3 or MC4 **receptors**. I are useful for treating **obesity**, diabetes, and sexual dysfunctions.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L8 ANSWER 16 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:53201 HCAPLUS
DOCUMENT NUMBER: 144:150243
TITLE: Preparation of piperidine derivatives and analogs thereof as NK1 antagonists
INVENTOR(S): Palani, Anandan; Huang, Xianhai; Xiao, Dong; Paliwal, Sunil; Tsui, Hon-Chung; Wrobleski, Michelle Laci; Rao, Ashwin U.; Wang, Cheng; Shah, Sapna S.; Shih, Neng-Yang
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006007540	A2	20060119	WO 2005-US23427	20050629

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, N2, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-584502P P 20040701

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 and R2 independently = H, alkyl, haloalkyl, CN, etc.; R3 = H, alkyl, CH2OH, alkoxymethyl; R4 = H, alkyl, cycloalkyl, etc.; Ar1 and Ar2 = (un)substituted aryl; n = 0-2], or their pharmaceutically acceptable salts and/or solvates thereof, are prepared and disclosed as NK1 antagonists. Thus, e.g., II was prepared by N-acetylation of aminopiperidine III (preparation given) with 2-chloroethylchloroformate followed by intramol. cyclocondensation and deprotection. I exhibited potent affinities for the NK1 **receptor**, e.g., II demonstrated a Ki value of 0.12 nM. I should prove useful in treating diseases or conditions mediated by NK1 **receptors**, for example various physiol. disorders, symptoms or diseases, including emesis, depression, anxiety and cough.

L8 ANSWER 17 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:45792 HCAPLUS
TITLE: Does **Obesity** Induce Resistance to the Long-Term Cardiovascular and Metabolic Actions of **Melanocortin** 3/4 **Receptor** Activation?
AUTHOR(S): da Silva, Alexandre A.; Kuo, Jay J.; Tallam, Lakshmi S.; Liu, Jiankang; Hall, John E.
CORPORATE SOURCE: Department of Physiology and Biophysics and Center of Excellence in Cardiovascular-Renal Research, University of Mississippi Medical Center, Jackson, MS, USA
SOURCE: Hypertension (2006), 47(2), 259-264
CODEN: HPRTDN; ISSN: 0194-911X
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Previous studies suggest that blockade of **melanocortin** 3 and 4 **receptors** (MC3/4-R) markedly attenuates the chronic hypertensive effects of leptin. Although **obesity** has been reported to be associated with leptin "resistance," it is unclear whether **obesity** alters the cardiovascular and metabolic effects of chronic MC3/4-R activation. Therefore, we tested whether the cardiovascular and metabolic actions of MC3/4-R activation are attenuated in Sprague-Dawley rats fed a high-fat diet (HF, n=6) compared with rats fed a standard chow (NF, n=6) for 12 mo. A 21G steel cannula was placed in the lateral ventricle for ICV infusion, and arterial and venous catheters were implanted for measurement of mean arterial pressure (MAP) 24 h/day and IV infusions. After a 5-day control period, rats were infused with MC3/4-R agonist melanotan II (10 ng/h, ICV), for 10 days followed by a 5-day recovery period. HF rats were heavier (558±21 vs. 485±13 g) with 140% more visceral fat than NF rats, hyperleptinemic (8.9±0.5 vs. 2.7±0.5 ng/mL), and insulin resistant. HF rats also had higher MAP (109±3 vs. 100±1 mm Hg). Chronic melanotan II infusion significantly increased MAP in HF and NF (7±2 and 6±1 mm Hg), decreased caloric intake (-32±2 and -25 ±2 kcal/day), and reduced insulin levels in both groups by ~50%. Thus, the metabolic and cardiovascular actions of chronic MC3/4-R activation are preserved in diet-induced **obesity**, supporting a potential role for the hypothalamic **melanocortin** system in **obesity** hypertension.

L8 ANSWER 18 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:20919 HCAPLUS
DOCUMENT NUMBER: 144:184849
TITLE: Molecular Characterization of Human **Melanocortin-3 Receptor** Ligand-**Receptor** Interaction
AUTHOR(S): Chen, Min; Aprahamian, Charles J.; Celik, Ahmet; Georgeson, Keith E.; Garvey, W. Timothy; Harmon, Carroll M.; Yang, Yingkui
CORPORATE SOURCE: Department of Surgery, and Department of Nutrition Sciences, University of Alabama at Birmingham, Birmingham, AL, 35233, USA
SOURCE: Biochemistry (2006), 45(4), 1128-1137
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB **Melanocortin-3 receptor** (MC3R), primarily expressed in the hypothalamus, plays an important role in the regulation of energy homeostasis. MC3R-deficient (MC3R-/-) mice demonstrate increased fat mass, higher feeding efficiency, hyperleptinemia, and mild hyperinsulinism. At least one specific mutation of MC3R has been identified to be associated with human **obesity**. Functional anal. of this altered MC3R (I183N) has indicated that the mutation completely abolishes agonist-mediated **receptor** activation. However, the specific mol. determinants of MC3R responsible for ligand binding and **receptor** signaling are currently unknown. The present study is to determine the structural aspects of MC3R responsible for ligand binding and **receptor** signaling. On the basis of the authors' theor. model for MC1R, using mutagenesis, the authors have examined 19 transmembrane domain amino acids selected for these potential roles in ligand binding and **receptor** signaling. The authors' results indicate that (i) substitutions of charged amino acid residues E131 in transmembrane domain 2 (TM2), D154 and D158 in TM3, and H298 in TM6 with alanine dramatically reduced NDP-MSH binding affinity and **receptor** signaling, (ii) substitutions of aromatic amino acids F295 and F296 in TM6 with alanine also significantly decreased NDP-MSH binding and **receptor** activity, (iii) substitutions of D121 in TM2 and D332 in TM7 with alanine resulted in the complete loss of ligand binding, ligand induced **receptor** activation, and cell surface protein expression, and (iv) interestingly, substitution of L165 in TM3 with methionine or alanine switched antagonist SHU9119 into a **receptor** agonist. In conclusion: the authors' results suggest that TM3 and TM6 are important for NDP-MSH binding, while D121 in TM2 and D332 in TM7 are crucial for **receptor** activity and signaling. Importantly, L165 in TM3 is critical for agonist or antagonist selectivity. These results provide important information about the mol. determinants of hMC3R responsible for ligand binding and **receptor** signaling.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS

L8 ANSWER 18 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 19 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:13930 HCAPLUS
DOCUMENT NUMBER: 144:101945
TITLE: Genotyping single nucleotide polymorphisms of G protein coupled **receptor** gene GPR40 for diagnosis and treatment of human metabolic diseases
INVENTOR(S): Houseknecht, Karen L.; Banerjee, Poulabi
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006003344	A1	20060105	US 2005-46020	20050128
WO 2006006062	A1	20060119	WO 2005-IB1962	20050620

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

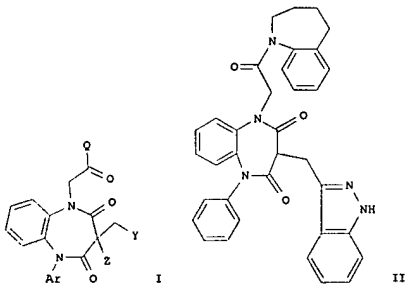
PRIORITY APPLN. INFO.: US 2004-584686P P 20040630
US 2005-46020 A 20050128

AB This invention relates to genotyping methods, methods of treatment, diagnostic tests and kits and methods of characterizing an agent, related to a single nucleotide polymorphism of the GPR40 gene.

L8 ANSWER 20 OF 632 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:11444 HCAPLUS
DOCUMENT NUMBER: 144:108369
TITLE: Preparation of benzodiazepines for use in treating illnesses related to the **melanocortin 4 receptor**
INVENTOR(S): Szewczyk, Jerzy Ryszard; Donaldson, Kelly H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006003991	A1	20060105	US 2005-171711		20050630
PRIORITY APPLN. INFO.:			US 2004-584925P	P	20040701

GI



I II

AB Benzodiazepines, I, wherein Ar is 5-14 membered aryl or heteroaryl ring substituted by one to four substituents each of which is independently selected from C1-6-alkyl, C1-6-alkenyl, halo, amino, C1-6-alkylamino, C1-6-dialkylamino, hydroxy, C1-6-alkoxy, C1-6-haloalkoxy, C1-6-haloalkyl, cyano or C1-6-alkylsulfonyl; Q is a (un)substituted N,N-dimethylamino-benzene derivative or an (un)substituted azepine; Y is an (un)substituted indazole; Z is H or C1-6-alkoxy are prepared and tested in a **receptor** gene assay specific to the **melanocortin 4**

receptor. Thus, II was prepd. and recorded a pEC50 value of 6.51. These compds. can be used in the pharmaceutical treatment of **obesity**, diabetes, inflammation, depression, male and female sexual dysfunction and anxiety.

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=> s piperazin?
L9        42929 PIPERAZIN?

=> d his

     (FILE 'HOME' ENTERED AT 15:45:45 ON 10 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 15:45:56 ON 10 MAR 2006

     FILE 'HCAPLUS' ENTERED AT 15:46:05 ON 10 MAR 2006
L1          2419 S MELANOCORTIN?
L2        762593 S RECEPTOR
L3          2149 S L1 AND L2
L4            40 S MC-4 AND RECEPTOR
L5          2156 S L3 OR L4
L6         35357 S OBESITY
L7        108621 S DIABETES
L8           632 S (L6 OR L6) AND L5
L9         42929 S PIPERAZIN?

=> s l9 and l8
L10           41 L9 AND L8

=> d ibib abs 1-15
```

L10 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1144492 HCAPLUS
DOCUMENT NUMBER: 144:51548
TITLE: Structure-activity relationship studies on a series of cyclohexylpiperazines bearing a phenylacetamide as ligands of the human **melanocortin-4 receptor**
AUTHOR(S): Pontillo, Joseph; Tran, Joe A.; White, Nicole S.; Arellano, Melissa; Fleck, Beth A.; Marinkovic, Dragan; Tucci, Fabio C.; Saunders, John; Foster, Alan C.; Chen, Chen
CORPORATE SOURCE: Department of Medicinal Chemistry, Neurocrine Biosciences Inc., San Diego, CA, 92130, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(23), 5237-5240
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Synthesis and structure-activity relationship studies of a series of cyclohexylpiperazines bearing an amide side chain as ligands of the MC4 **receptor** are discussed. One compound from this series is a potent pituitary hormone **receptor** (**melanocortin receptor** 4) agonist.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1028255 HCAPLUS
DOCUMENT NUMBER: 143:472800
TITLE: A review of **melanocortin receptor** small molecule ligands
AUTHOR(S): Todorovic, Aleksandar; Haskell-Luevano, Carrie
CORPORATE SOURCE: Department of Medicinal Chemistry, University of Florida, Gainesville, FL, 32610, USA
SOURCE: Peptides (New York, NY, United States) (2005), 26(10), 2026-2036
CODEN: PPTDD5; ISSN: 0196-9781
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. The **melanocortin** system (MC) is implicated in the regulation of a variety of physiol. pathways including pigmentation, steroid function, energy homeostasis, food intake, **obesity**, cardiovascular, sexual function, and normal gland regulation. The **melanocortin** system consists of five **receptors** identified to date (MC1-5R), **melanocortin** agonists derived from the pro-opiomelanocortin prohormone (POMC) and two naturally existing antagonists. **Melanocortin receptor** ligand structure-activity studies have been performed since the 1960s, primarily focused on the pigmentation aspect of physiol. During the 1990s, the **melanocortin-4 receptor** was identified to play a significant physiol. role in the regulation of both food intake and **obesity**. Subsequently, a concerted drug design effort has focused on the design and discovery of **melanocortin receptor** small mols. Herein, the authors present an overview of **melanocortin receptor** heterocyclic small mols.
REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:760345 HCAPLUS
DOCUMENT NUMBER: 143:367275
TITLE: Design and syntheses of **melanocortin** subtype-4 **receptor** agonists. Part 2: discovery of the dihydropyridazinone motif
AUTHOR(S): Ujjainwalla, Feroze; Warner, Daniel; Snedden, Christine; Grisson, Ricky D.; Walsh, Thomas F.; Wyvratt, Matthew J.; Kalyani, Rubana N.; MacNeil, Tanya; Tang, Rui; Weinberg, David H.; Van der Ploeg, Lex; Goulet, Mark T.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065-0900, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(18), 4023-4028
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Optimization of the biol. activity of a new class of non-peptidyl, pyridazinone derived human **melanocortin** subtype-4 **receptor** agonists is disclosed. Lead compds. in this study included derivs. of N-[(1R)-3-[6-[(4-chlorophenyl)thio]-2,3-dihydro-2-(4-methoxyphenyl)-3-oxo-4-pyridazinyl]-1-methylpropyl]-4-phenyl-3-piperidinecarboxamide, and corresponding pyrrolidinecarboxamide and **piperazinecarboxamide** derivs. Human **melanocortin** subtype-4 **receptor** agonists have potential applications as anti-**obesity** agents (no data).
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:493504 HCAPLUS
DOCUMENT NUMBER: 143:43767
TITLE: Preparation of substituted urea-octahydroindoles as antagonists of melanin concentrating hormone **receptor** 1 (MCH1R)
INVENTOR(S): Browning, Andrew; Nilsson, Jonas; Scobie, Martin; Angbrant, Johan; Ringom, Rune
PATENT ASSIGNEE(S): Biovitrum AB, Swed.
SOURCE: PCT Int. Appl., 272 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051381	A1	20050609	WO 2004-SE1620	20041109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005239841	A1	20051027	US 2004-997675	20041124
PRIORITY APPLN. INFO.:			SE 2003-3182	A 20031126
			US 2004-581057P	P 20040618

OTHER SOURCE(S): MARPAT 143:43767
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R0 = alkyl, absent; R1, R2 = independently H, halo/aryl/alkyl; R1-R2 = alkylene; R3 = H, thio/carbamoyl, CN, alk(en)yl, etc.; R4 = alkyl, aryl; R5, R6 = independently H, alk(en)yl, alkoxyalkyl, cycloalkyl; R7 = H, alkyl; R8 = H, halo; R9 = H; or R9 forms CH2 together with R3; Ar = 5-7-membered aryl; 5-7-membered unsatd. heterocyclyl, bicyclyl, etc.; X = O, S, NH, CH-NO2, NCN; and their pharmaceutically acceptable salts, hydrates, geometrical isomers, racemates, tautomers, optical isomers, N-oxides and prodrugs] were prepared as melanin concentrating hormone **receptor** 1 (MCH1R) antagonists. For example, rel-II•TFA was prepared by Pd-cross coupling of 4-bromoaniline with 3-cyanophenylboronic acid, reaction with 4-nitrophenylchloroformate in the presence of DIPA/CH2Cl2 and treatment of the carbamate (no data) with (3aS*,6R*,7aS*)-3a-(3,4-dimethoxyphenyl)-1-methyloctahydro-1H-indol-6-amine (preparation given). I exhibited IC50 values for the MCH1R **receptor** in the range 10 nM to 10 μM. I and their

L10 ANSWER 4 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pharmaceutical compns. are useful for the treatment or prophylaxis of disorders related to the MCH1R **receptor** and for modulation of appetite.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
of NH4OAc in the presence of NaBH3CN/MeOH and reaction with benzyl isothiocyanate gave rel-II. I exhibited IC50 values for the MCH1R **receptor** in the range 10 nM to 10 μM. I and their pharmaceutical compns. are useful for the treatment or prophylaxis of disorders related to the MCH1R **receptor** and for modulation of appetite.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L10 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:493503 HCAPLUS
DOCUMENT NUMBER: 143:43766
TITLE: Preparation of substituted urea-octahydroindols as antagonists of melanin concentrating hormone **receptor** 1 (MCH1R)
INVENTOR(S): Scoble, Martin; Browning, Andrew
PATENT ASSIGNEE(S): Biovitrum AB, Swed.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051380	A1	20050609	WO 2004-SE1619	20041109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005192339	A1	20050901	US 2004-995948	20041123
PRIORITY APPLN. INFO.:			SE 2003-3181 A	20031126
			US 2004-549644P P	20040303

OTHER SOURCE(S): MARPAT 143:43766
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1, R2 = independently alkyl; or R1 and R2 are linked to form alkylene; R3 = H, alkyl, alkoxycarbonyl, aryl, etc.; R4 = H, alkyl; R5 = H, OH, alkyl, alkylaminocarbonyl, alkylaminothioxylcarbonyl; R6 = H, alkyl; R7 = H, alk(en)yl, alkoxy, arylcarbonyl, etc.; or R6 and R7 are linked to form alkylene; or R6NR7 = (un)substituted **piperazinyl**; R8 = H, alkyl; X = O, S, NH, CH-NO2, NCN; and their pharmaceutically acceptable salts, hydrates, geometrical isomers, racemates, tautomers, optical isomers, N-oxides and prodrugs] were prepared as melanin concentrating hormone **receptor** 1 (MCH1R) antagonists. For example, reacting (3aS*,7aS*)-1-Benzyl-3a-(3,4-dimethoxyphenyl)octahydro-6H-indol-6-one (preparation given) with (Boc)2O, followed by reduction alkylation

L10 ANSWER 6 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:490293 HCAPLUS
DOCUMENT NUMBER: 143:43903
TITLE: Preparation of **piperazinylguanidinoquinazolinones as melanocortin-4 receptor** (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
PATENT ASSIGNEE(S): Chiron Corporation, USA; Glaxosmithkline
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051391	A1	20050609	WO 2004-US39020	20041119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005192297	A1	20050901	US 2004-993147	20041119
PRIORITY APPLN. INFO.:			US 2003-523336P P	20031119
			US 2003-524492P P	20031124

OTHER SOURCE(S): MARPAT 143:43903
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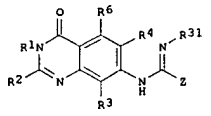

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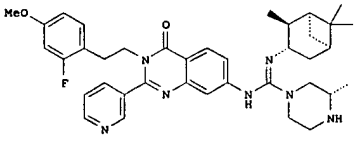


II

L10 ANSWER 6 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. {I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, Cl, F, Br, iodo, OH, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl; Z = (substituted) 3-oxopiperazinyl; and tautomers}, were prepared Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea derivative in the presence of polymer-supported carbodiimide) showed a plasma half life of 1.9 h in mice.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:409504 HCAPLUS
DOCUMENT NUMBER: 142:463764
TITLE: Preparation of **piperazinyl** carboxamide and related cyclic homologs as ligands of **melanocortin receptors** and compositions and methods related thereto
INVENTOR(S): Chen, Chen; Tran, Joe Ahn; Tucci, Fabio C.; Jiang, Wanlong; Chen, Wei-Chuan C.
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042516	A2	20050512	WO 2004-US34951	20041022
WO 2005042516	A3	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005119252	A1	20050602	US 2004-971732	20041022
PRIORITY APPLN. INFO.:			US 2003-513727P P	20031022

OTHER SOURCE(S): MARPAT 142:463764
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Ar = (un)substituted-aryl, -heteroaryl; X, Y, Z and U independently = N or CH with provisions; R1 = R6(CR1aR1b)q, wherein R1a and R1b independently = H, (un)substituted-alkyl, -aryl, etc., or taken together form a (un)substituted homocycle; R2 = at each occurrence independently equal to (un)substituted alkyl; R3 = at each occurrence independently equal to OH, halo, CN, NO2, etc.; R4 at each occurrence independently equal to H, Me, OH, halo, (un)substituted heterocycle, etc.; R5 at each occurrence independently equal to H or Me; R6 = imidazolyl, triazolyl, oxazolyl, etc.; m = 0-2; n = 0-4; p = 0-4; q = 0-4], and pharmaceutically acceptable salts thereof, are prepared and disclosed as ligands of **melanocortin receptors** (no data). Thus, e.g., II was prepared via amidation of 2-[**piperazin**

L10 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

-1-yl]-1-[1S-(S-t-butanesulfinamido)-3-methylbutyl]-5-trifluoromethylbenzene (prepn. given) with (2R)-3-(4-chlorophenyl)-2-methylpropionic acid followed by deprotection and amidation with BOC-β-alanine. Pharmaceutical compns. contg. a compd. of structure (I), as well as methods relating to the use thereof, are also disclosed.

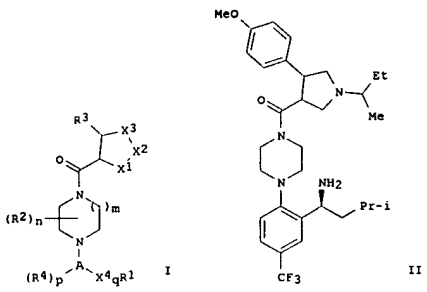
L10 ANSWER 8 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:395265 HCAPLUS
DOCUMENT NUMBER: 142:463753
TITLE: Preparation of **piperazinyl** carboxamide and related cyclic homologs as ligands of **melanocortin receptors** and compositions and methods related thereto
INVENTOR(S): Chen, Chen; Tran, Joe Ahn; Tucci, Fabio C.; Chen, Wei-Chuan C.; Jiang, Wanlong; Marinkovic, Dragan; Arellano, Melissa; White, Nicole
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040109	A1	20050506	WO 2004-US35343	20041022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005192286	A1	20050901	US 2004-972064	20041022
PRIORITY APPLN. INFO.:			US 2003-513626P P	20031022

OTHER SOURCE(S): MARPAT 142:463753
GI

L10 ANSWER 8 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I II

AB Title compds. I [A = cycloalkyl, aryl, or heteroaryl; X1 = NH, CO, O, CH2, etc.; X2 and X3 independently = NH, O, CO, N-alkyl, etc; X4 = (un)substituted methylene; R1 = imidazolyl, triazolyl, oxazolyl, etc.; R2 = (un)substituted alkyl; R3 = (un)substituted-aryl or -heteroaryl; R4 = OH, halo, CN, NO2, etc.; m and p independently = 0-2; n and q independently = 0-4],and pharmaceutically acceptable salts thereof, are prepared and disclosed as ligands of **melanocortin receptors** (no data). Thus, e.g., II was prepared by acylation of 2-[1-**piperazinyl**]-1-[1S-(S-t-butanesulfinamido)-3-methylbutyl]-5-trifluoromethylbenzene with 1-BOC-4-(4-methoxyphenyl)pyrrolidine-3-carboxylic acid followed by deprotection and N-alkylation with 2-butanone.
Pharmaceutical compns. containing I, as well as methods relating to the use thereof, are also disclosed.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:48730 HCAPLUS
DOCUMENT NUMBER: 142:273328
TITLE: Metabolic Activation of a 1,3-Disubstituted **Piperazine** Derivative: Evidence for a Novel Ring Contraction to an Imidazoline
AUTHOR(S): Doss, George A.; Miller, Randall R.; Zhang, Zhoupeng; Teffera, Yohannes; Nargund, Ravi P.; Palucki, Brenda; Park, Min K.; Tang, Yui S.; Evans, David C.; Baillie, Thomas A.; Stearns, Ralph A.
CORPORATE SOURCE: Departments of Drug Metabolism and Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Chemical Research in Toxicology (2005), 18(2), 271-276
CODEN: CRTOEC; ISSN: 0893-228X
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB MB243 (a 1,3-disubstituted **piperazine**) is a new, potent, and selective **melanocortin receptor** subtype-4 agonist with potential application in the treatment of **obesity** and/or erectile dysfunction. MB243 was observed to covalently bind extensively to liver microsomal proteins from rats and humans. In the presence of glutathione, two thioether adducts were detected in liver microsomal incubations by radiochromatog. and LC/MS/MS anal. These adducts were also formed when bile duct-cannulated rats were dosed with MB243. The two adducts were isolated, and their structures were determined by accurate mass MS/MS and NMR analyses. The proposed structures resulted from a novel contraction of the **piperazine** ring to yield a substituted imidazoline. A mechanism is proposed, which involves an initial six electron oxidation of the **piperazine** ring to form a reactive intermediate, which is trapped by glutathione. Hydrolysis of the glutamic acid residue followed by internal aminolysis by the cysteine amino group resulted in opening of the **piperazine** ring, which is followed by ring closure to an imidazoline. The resulting cysteinyl-glycine conjugate underwent subsequent hydrolysis of the glycine residue. Understanding of the mechanism of bioactivation led to the design of MB243 analogs that exhibited reduced covalent protein binding.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:965987 HCAPLUS
DOCUMENT NUMBER: 141:411221
TITLE: Preparation of **piperazine melanocortin receptor**-specific compounds
INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit, Ramesh; Wu, Zhijun; Purma, Papireddy; Shadiack, Annette M.; Burris, Kevin D.
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 69 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

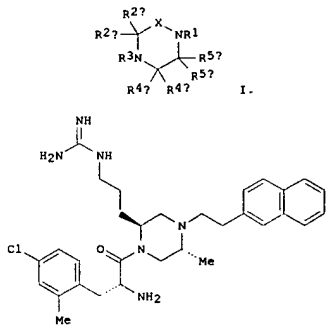
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004224957	A1	20041111	US 2004-837519	20040430
WO 2004098602	A1	20041118	WO 2004-US13803	20040503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1622618	A1	20060208	EP 2004-751262	20040503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2005130988	A1	20050616	US 2005-36282	20050114
US 2005124636	A1	20050609	US 2005-40838	20050121
US 2005176728	A1	20050811	US 2005-99814	20050405

PRIORITY APPLN. INFO.:
US 2003-467442P P 20030501
US 2004-546393P P 20040219
US 2001-311404P P 20010810
WO 2002-US25574 A2 20020812
US 2003-474497P P 20030530
US 2004-536606P P 20040114
US 2004-538100P P 20040121
US 2004-761889 A2 20040121
US 2004-762079 A2 20040121
US 2004-559741P P 20040405

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2004-563739P	P	20040419
US 2004-837519	A	20040430
WO 2004-US13803	W	20040503

OTHER SOURCE(S): MARPAT 141:411221
GI



I II

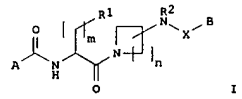
AB The invention relates to amino acid-derived **piperazine** compds. I [X is CH2, CO or CS; R1 is -L1-J; one of R2a and R2b is -L2-W and the other is H; R3 is -L3-Q; L1 is a bond or a linker unit comprising from one to eight backbone atoms selected from carbon, sulfur, oxygen or nitrogen; J is a ring structure, e.g., an (un)substituted aromatic or non-aromatic carbocyclic ring; L2 is a bond or (CH2)1-6; W is a heteroatom unit with at least one cationic center, hydrogen bond donor or acceptor (at least one heteroatom is nitrogen or oxygen); L3 is a bond or a linker unit comprising from one to nine backbone atoms selected from carbon, sulfur, oxygen or nitrogen; Q is (un)substituted Ph or naphthyl; one or two of R4a, R4b, R5a and R5b are independently -L2-W or an aliphatic chain and the others are H, provided that at least one of R4a and R4b and at least one of R5a and R5b is H], including enantiomers, stereoisomers, diastereoisomers or pharmaceutically-acceptable salts, which bind with high affinity to one or more **melanocortin receptors** (MCR) and may be employed for treatment of **melanocortin receptor**-associated conditions or disorders. Thus, **piperazine** derivative II was prepared via reactions of 2-naphthylacetic

L10 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
acid, (R)-(-)-2-amino-1-propanol, Fmoc-L-Arg(Boc)2-OH (Fmoc = fluorenylmethoxycarbonyl, Boc = tert-butoxycarbonyl), and Boc-D-4-chloro-2-methyl-L-phenylalanine. Compd. II was shown to be a partial agonist as to MC4-R and in rats caused a decrease in food intake (administration 2 h prior to food presentation) and induced penile erection at 0.3-30 μg/Kg.

L10 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:964812 HCAPLUS
DOCUMENT NUMBER: 141:411215
TITLE: Preparation of amino acid heterocyclyl amides as modulators of the **melanocortin-4 receptor**
INVENTOR(S): Chaturvedula, Prasad V.; Luo, Guanglin; Vig, Shikha; Poindexter, Graham S.; Beno, Brett R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004224901	A1	20041111	US 2004-813870	20040330
PRIORITY APPLN. INFO.:			US 2003-465552P P	20030425

OTHER SOURCE(S): MARPAT 141:411215
GI



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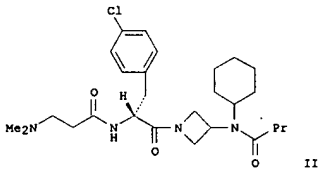

II

AB Novel azetidinyl and pyrrolidinyl compds. I [A is H, alkyl, aminoalkyl, optionally N-alkylated azetidinyl, pyrrolidinyl, piperidinyl, **piperazinyl**, (thio)morpholinyl or (iso)quinolinyl; R1 is (un)substituted Ph, naphthyl, benzofuranyl, benzothienyl or indolyl; R2 is alkyl or cycloalkyl; m is 0-3; n is 1 or 2; X is CO or SO2; B is alkyl, cycloalkyl, cycloalkylmethyl, methoxy- or phenoxyalkyl, (un)substituted

L10 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Ph, naphthyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, furanyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, benzfuranyl, benzthienyl, indolyl, benzoxazolyl or indazolyl] and their pharmaceutically-acceptable salts are ligands of **melanocortin-4 receptors** (MC4R) and are useful for treating conditions responsive to the modulation of **melanocortin-4 receptors** such as **obesity**, diabetes, and sexual dysfunction. Thus, 4-chlorophenylalanyl azetidine deriv. II was prepd. via acylation reactions and showed IC50 < 250 nM in the MC4R binding assay.

L10 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:905618 HCAPLUS
DOCUMENT NUMBER: 141:379938
TITLE: Preparation of pyrazolo[4,3-d]pyrimidine and pyrazolo[3,4-c]pyridine compounds as cannabinoid **receptor** ligands
INVENTOR(S): Griffith, David A.; Hammond, Marlys
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 76 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214837	A1	20041028	US 2004-822975	20040412
CA 2520842	AA	20041111	CA 2004-2520842	20040420
WO 2004096801	A1	20041111	WO 2004-IB1418	20040420
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1622904	A1	20060208	EP 2004-728385	20040420
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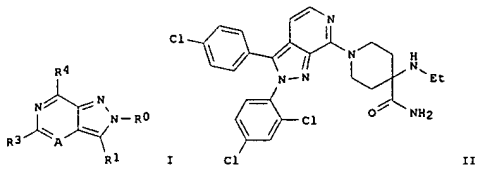
NL 1026030	A1	20041101	NL 2004-1026030	20040423
NL 1026030	C2	20050705		
PRIORITY APPLN. INFO.:			US 2003-464918P P	20030423
			US 2004-540048P P	20040129
			WO 2004-IB1418 W	20040420

OTHER SOURCE(S): MARPAT 141:379938
GI



I II

L10 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. I [A = N, CR2 (wherein R2 = H, alkyl, haloalkyl, alkoxy); R0, R1 = (un)substituted (hetero)aryl; R3 = H, alkyl, haloalkyl, alkoxy; R4 = (un)substituted pyrrolidino, piperidino, **piperazino**, etc.] that act as cannabinoid **receptor** ligands and therefore are useful in the treatment of diseases linked to the mediation of the cannabinoid **receptors** in animals, were prepared Thus, reacting 7-chloro-3-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-2H-pyrazolo[3,4-c]pyridine with 4-ethylaminopiperidine-4-carboxylic acid amide (prepns. given) afforded 78% II. All the exemplified compds. (over 190) were tested in the CB-1 **receptor** binding assay and showed a range of binding activities from 0.2 nM to 1.6 μM. The pharmaceutical composition comprising the compound I is claimed.

L10 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:799585 HCAPLUS
DOCUMENT NUMBER: 141:295868
TITLE: Preparation of amides derived from substituted piperidinealkylamines as **melanocortin-4 receptor** antagonists
INVENTOR(S): Soeberdt, Michael; Weyermann, Philipp; Von Sprecher, Andreas; Henneboehle, Marco
PATENT ASSIGNEE(S): Myocontract Ltd., Switz.
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083208	A1	20040930	WO 2004-EP2896	20040319
WO 2004083208	C1	20050120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1468999	A1	20041020	EP 2003-6256	20030320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2519440	AA	20040930	CA 2004-2519440	20040319
EP 1603911	A1	20051214	EP 2004-721851	20040319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: EP 2003-6256 A 20030320
WO 2004-EP2896 W 20040319

OTHER SOURCE(S): MARPAT 141:295868
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. A(CH2)mCHR1(CH2)nR2 [A = 4-substituted piperidinyl, (un)substituted 4-arylazaheterocyclyl, spiropiperidinyl, or oxotetrahydropyrazolopyridinyl; R1 = (un)substituted aralkyl, heteroaralkyl; R2 = R3C(:O)NH, (un)substituted oxoquinolinecarbonylamino or chromonecarbonylamino, **piperazinyl**, cycloalkylalkylcarbonylamino, aminoalkylamino; R3 = (un)substituted

L10 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

aryl-fused azaheterocyclyl or azaheterocyclylalkyl, fused heterocyclyl or fused heterocyclylalkyl] (I), particularly N-acyl α-(arylmethyl)piperidinealkylamines such as II·xHCl or α-arylpiperidineethylpiperazines such as III, are prepd. as **melanocortin-4 receptor** antagonists for the treatment of disorders such as cancer cachexia, muscle wasting, anorexia, anxiety, depression, **obesity**, diabetes mellitus, male or female sexual dysfunction, or erectile dysfunction. Reductive amination of Boc L-4-chlorophenylalaninal with N-tert-Bu 4-cyclohexyl-4-piperidinecarboxamide yields a piperidineethylamine which is deprotected with trifluoroacetic acid and converted to the hydrochloride salt followed by coupling of the free amine with (R)-Boc-1,2,3,4-tetrahydroisoquinolinecarboxylic acid and deprotection yields II·xHCl (no data on intermediates). II binds to the human **melanocortin-4 receptor** in vitro with an IC50 value of 0.70 μM but does not activate the **receptor**; in rats, II increases spontaneous feeding significantly at doses of 10 mg/kg. Human **melanocortin-4 receptor** antagonist and activation activities and the effectiveness of compds. at stimulating spontaneous feeding in rats are given for some example compds.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:772652 HCAPLUS
DOCUMENT NUMBER: 141:261061
TITLE: Preparation of substituted piperidine and **piperazine** amino acid derivatives as **melanocortin-4 receptor** modulators
INVENTOR(S): Soeberdt, Michael; Weyermann, Philipp; Von Sprecher, Andreas
PATENT ASSIGNEE(S): Myocontract Ltd., Switz.
SOURCE: Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1460073	A1	20040922	EP 2003-6254	20030320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2519442	AA	20040930	CA 2004-2519442	20040319
WO 2004083209	A1	20040930	WO 2004-EP2907	20040319
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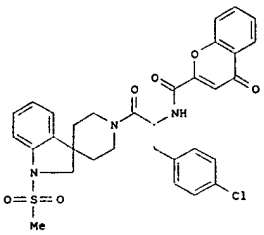
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1603912	A1	20051214	EP 2004-721899	20040319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: EP 2003-6254 A 20030320
WO 2004-EP2907 W 20040319

OTHER SOURCE(S): MARPAT 141:261061
GI

L10 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB The invention relates to novel substituted piperidine and **piperazine** derivs. A-CO(CH2)mCH(CH2-Ar)(CH2)nNHCOR1 [Ar is (un)substituted aryl or heteroaryl; R1 is (un)substituted chromone-2-yl, 3-aminochromone-2-yl or 4-oxoquinolin-3-yl; A is substituted 1-piperidinyl or 1-**piperazinyl**; m, n are 0-2] or their pharmaceutically-acceptable salts for use as **melanocortin-4 receptor** (MC-4R) modulators. MC-4R agonists of the invention can be used for the treatment of disorders and diseases such as **obesity**, diabetes, and sexual dysfunction, whereas the MC-4R antagonists are useful for the treatment of cancer cachexia, muscle wasting, anorexia, anxiety, depression, etc. Thus, I was prepared via coupling reactions of Boc-D-4-chlorophenylalanine (Boc = tert-butoxycarbonyl), 1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidine] monohydrochloride and chromone-2-carboxylic acid.

L10 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB **obesity**, diabetes, and sexual dysfunction, whereas the MC-4R antagonists are useful for the treatment of cancer cachexia, muscle wasting, anorexia, anxiety, depression, etc. Thus, peptide II was prepd. via reactions of 2-bromobenzyl bromide, 2-pyrrolidinone, 1-Boc-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-3,6-dihydro-1(2H)pyridine (Boc = tert-butoxycarbonyl), Boc-L-4-chlorophenylalanine and (R)-2-Boc-1,2,3,4-tetrahydroquinoline-3-carboxylic acid.

L10 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:772651 HCAPLUS
DOCUMENT NUMBER: 141:261072
TITLE: Preparation of substituted piperidine and **piperazine** amino acid derivatives as **melanocortin-4 receptor** modulators
INVENTOR(S): Soeberdt, Michael; Weyermann, Philipp; Von Sprecher, Andreas
PATENT ASSIGNEE(S): Myocontract Ltd., Switz.
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1460070	A1	20040922	EP 2003-6253	20030320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2519444	AA	20040930	CA 2004-2519444	20040319
WO 2004083199	A1	20040930	WO 2004-EP2908	20040319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1606281	A1	20051221	EP 2004-721877	20040319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: EP 2003-6253 A 20030320
WO 2004-EP2908 W 20040319

OTHER SOURCE(S): MARPAT 141:261072
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel substituted piperidine and **piperazine** derivs. I [Ar is (un)substituted aryl or heteroaryl; R1 is H, OH, cyano, nitro, halo, alkyl, alkoxy or haloalkyl; R2 is heterocyclyl; X is CH or N; m is 0-3; n is 1-4; p, p' are 0-2; q is 1-2] or their pharmaceutically-acceptable salts for use as **melanocortin-4 receptor** (MC-4R) modulators. MC-4R agonists of the invention can be used for the treatment of disorders and diseases such as

Andrew Freistein 10/788,859

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	116.14	116.79

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-26.25	-26.25

STN INTERNATIONAL LOGOFF AT 15:50:45 ON 10 MAR 2006

Andrew Freistein 10/788,859

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	DEC 05	CASREACT(R) - Over 10 million reactions available
NEWS	4	DEC 14	2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS	5	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS	6	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS	7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS	8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS	9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS	10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS	11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS	12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS	13	JAN 30	Saved answer limit increased
NEWS	14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA
NEWS	15	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS	16	FEB 22	Status of current WO (PCT) information on STN
NEWS	17	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS	18	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS	19	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	20	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS	21	FEB 28	TOXCENTER reloaded with enhancements
NEWS	22	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS	23	MAR 01	INSPEC reloaded and enhanced
NEWS	24	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS	25	MAR 08	X.25 communication option no longer available after June 2006

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Andrew Freistein 10/788,859

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FILE 'HOME' ENTERED AT 13:59:06 ON 10 MAR 2006

=> s l1
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:59:23 ON 10 MAR 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 MAR 2006 HIGHEST RN 876338-69-1
DICTIONARY FILE UPDATES: 9 MAR 2006 HIGHEST RN 876338-69-1

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005. A new display format, IDERL, is now      *
* available and contains the CA role and document type information.  *
*                                                                    *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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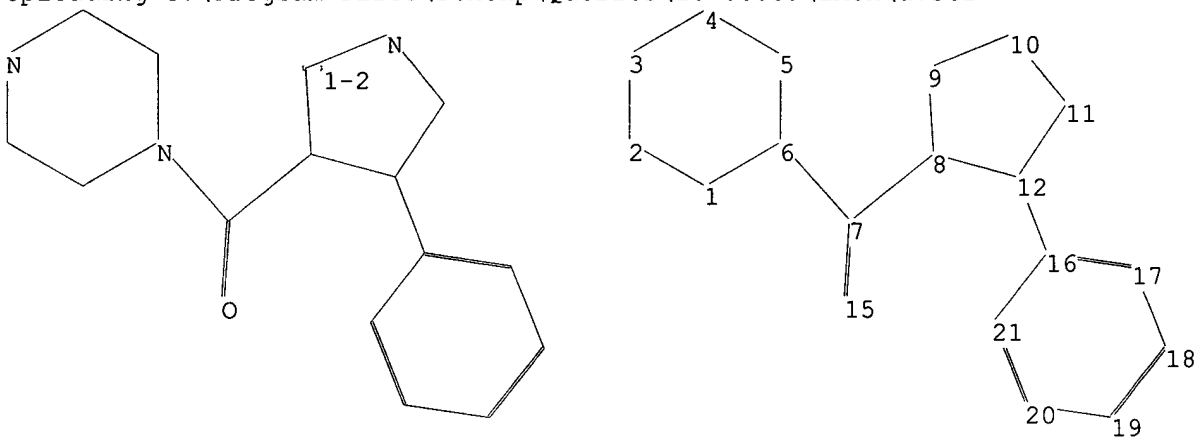
http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10788859\2AOM\a.str



chain nodes :
7 15
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 16 17 18 19 20 21
chain bonds :
6-7 7-8 7-15 12-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 16-17 16-21 17-18
18-19 19-20 20-21
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 6-7 7-15 8-9 8-12 9-10 10-11 11-12
exact bonds :
7-8 12-16
normalized bonds :
16-17 16-21 17-18 18-19 19-20 20-21

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 13:59:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 169 TO ITERATE

100.0% PROCESSED 169 ITERATIONS 19 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2601 TO 4159
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

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=> s l1 full
FULL SEARCH INITIATED 13:59:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4119 TO ITERATE

100.0% PROCESSED     4119 ITERATIONS                              539 ANSWERS
SEARCH TIME: 00.00.01

L3          539 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                              166.94     167.15

FILE 'HCAPLUS' ENTERED AT 13:59:45 ON 10 MAR 2006
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FILE COVERS 1907 - 10 Mar 2006  VOL 144 ISS 12
FILE LAST UPDATED: 9 Mar 2006  (20060309/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L4          17 L3

=> d ibib 1-17
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L4 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1350335 HCAPLUS
DOCUMENT NUMBER: 144:88307
TITLE: Preparation of quinazoline derivatives as CCR4 function controllers
INVENTOR(S): Kawano, Noriyuki; Ishikawa, Noriko; Kaizawa, Hiroyuki; Masuda, Naoyuki; Hamaguchi, Wataru; Koganemaru, Yohei; Kato, Koji; Miyazaki, Takahiro
PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123697	A1	20051229	WO 2005-JP11174	20050617

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2004-183086 A 20040621

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1290080 HCAPLUS
DOCUMENT NUMBER: 144:36374
TITLE: Preparation of aromatic biaryls, in particular (piperazin-1-yl) phenyl(pyridinyl/pyrimidinyl) methanones, as inhibitors of tubulin polymerization and their compositions for treatment of cancer
INVENTOR(S): Mailliet, Patrick; Thompson, Fabienne; Tiraboschi, Gilles
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 26 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2871157	A1	20051209	FR 2004-6043	20040604
WO 2006003277	A1	20060112	WO 2005-FR1336	20050601

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: FR 2004-6043 A 20040604

OTHER SOURCE(S): MARPAT 144:36374
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:395265 HCAPLUS
DOCUMENT NUMBER: 142:463753
TITLE: Preparation of piperazinyl carboxamide and related cyclic homologs as ligands of melanocortin receptors and compositions and methods related thereto
INVENTOR(S): Chen, Chen; Tran, Joe Ahn; Tucci, Fabio C.; Chen, Wei-Chuan C.; Jiang, Wanlong; Marinkovic, Dragan; Arellano, Melissa; White, Nicole
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040109	A1	20050506	WO 2004-US35343	20041022

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005192286	A1	20050901	US 2004-972064	20041022

PRIORITY APPLN. INFO.: US 2003-513626P P 20031022

OTHER SOURCE(S): MARPAT 142:463753
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:965987 HCAPLUS
DOCUMENT NUMBER: 141:411221
TITLE: Preparation of piperazine melanocortin receptor-specific compounds
INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Rajpurohit, Ramesh; Wu, Zhijun; Purma, Papireddy; Shadiack, Annette M.; Burris, Kevin D.
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 69 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004224957	A1	20041111	US 2004-837519	20040430
WO 2004098602	A1	20041118	WO 2004-US13803	20040503

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1622618	A1	20060208	EP 2004-751262	20040503

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

US 2005130988	A1	20050616	US 2005-36282	20050114
US 2005124636	A1	20050609	US 2005-40838	20050121
US 2005176728	A1	20050811	US 2005-99814	20050405

PRIORITY APPLN. INFO.:

US 2003-467442P	P	20030501
US 2004-546393P	P	20040219
US 2001-311404P	P	20010810
WO 2002-US25574	A2	20020812
US 2003-474497P	P	20030530
US 2004-536606P	P	20040114
US 2004-538100P	P	20040121
US 2004-761889	A2	20040121
US 2004-762079	A2	20040121
US 2004-559741P	P	20040405
US 2004-563739P	P	20040419

L4 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

	US 2004-837519	A	20040430
	WO 2004-US13803	W	20040503

OTHER SOURCE(S): MARPAT 141:411221

L4 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:756685 HCAPLUS
DOCUMENT NUMBER: 141:277640
TITLE: Preparation of acylated piperazine derivatives as melanocortin -4 receptor agonists for the treatment of obesity, diabetes mellitus and sexual dysfunction, and pharmaceutical compositions thereof
INVENTOR(S): Bakshi, Raman K.; Guo, Liangqin; Hong, Qingmei; Nargund, Ravi P.; Pollard, Patrick G.; Sebhat, Iyassu K.; Ujjainwalla, Feroze; Ye, Zhixiong
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078717	A1	20040916	WO 2004-US7713	20040227
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004204398	A1	20041014	US 2004-788859	20040227
PRIORITY APPLN. INFO.:			US 2003-451502P	P 20030303
			US 2003-515943P	P 20031030

OTHER SOURCE(S): MARPAT 141:277640
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:756684 HCAPLUS
DOCUMENT NUMBER: 141:277639
TITLE: Preparation of acylated piperazine derivatives as melanocortin-4 receptor agonists for the treatment of obesity, diabetes mellitus and sexual dysfunction, and pharmaceutical compositions thereof
INVENTOR(S): Bakshi, Raman K.; Hong, Qingmei; Nargund, Ravi P.; Pollard, Patrick G.; Sebhat, Iyassu K.; Ujjainwalla, Feroze; Ye, Zhixiong
PATENT ASSIGNEE(S): Merck & Co. Inc., USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078716	A1	20040916	WO 2004-US5982	20040227
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US 2004204398	A1	20041014	US 2004-788859	20040227
PRIORITY APPLN. INFO.:			US 2003-451502P	P 20030303
			US 2003-515943P	P 20031030

OTHER SOURCE(S): MARPAT 141:277639
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:652533 HCAPLUS
DOCUMENT NUMBER: 141:191073
TITLE: Preparation of piperazines as melanocortin-specific agonists, antagonists, or mixed agonists and antagonists.
INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Wu, Zhijun; Rajpurohit, Ramesh
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of Appl. No. PCT/US02/25574.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157264	A1	20040812	US 2004-762079	20040121
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2005102340	A1	20051103	WO 2004-US1462	20040121
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005130988	A1	20050616	US 2005-36282	20050114
US 2005124636	A1	20050609	US 2005-40838	20050121
US 2005176728	A1	20050811	US 2005-99814	20050405
PRIORITY APPLN. INFO.:			US 2001-311404P	P 20010810
			WO 2002-US25574	A2 20020812
			US 2003-474497P	P 20030530
			US 2003-467442P	P 20030501
			US 2004-536606P	P 20040114
			US 2004-538100P	P 20040121
			US 2004-761889	A2 20040121

L4 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2004-762079	A2	20040121
US 2004-546393P	P	20040219
US 2004-559741P	P	20040405
US 2004-563739P	P	20040419
US 2004-837519	A2	20040430

OTHER SOURCE(S): MARPAT 141:191073

L4 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:221465 HCAPLUS
DOCUMENT NUMBER: 138:255249
TITLE: Preparation of piperazine and homopiperazine compounds useful in the treatment of thrombosis and to inhibit ADP-mediated platelet aggregation
INVENTOR(S): Levy, Daniel E.; Smyth, Mark S.; Scarborough, Robert M.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022214	A2	20030320	WO 2002-US28618	20020906
WO 2003022214	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003153556	A1	20030814	US 2002-237153	20020906
PRIORITY APPLN. INFO.:			US 2001-317192P P	20010906

OTHER SOURCE(S): MARPAT 138:255249

L4 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:152411 HCAPLUS
DOCUMENT NUMBER: 88:152411
TITLE: Heterocyclic amide derivatives
INVENTOR(S): Yuki, Hiroshi; Setoguchi, Nobuo
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52156859	A2	19771227	JP 1976-72457	19760618
PRIORITY APPLN. INFO.:			JP 1976-72457 A	19760618

L4 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:406018 HCAPLUS
DOCUMENT NUMBER: 87:6018
TITLE: Amides
INVENTOR(S): Yuki, Hiroshi; Setoguchi, Shinro
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51131870	A2	19761116	JP 1975-9020	19750120
PRIORITY APPLN. INFO.:			JP 1975-9020 A	19750120

L4 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:500020 HCAPLUS
DOCUMENT NUMBER: 67:100020
TITLE: Octahydro-3-oxoindolizinecarboxylates
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3297704		19670110	US 1965-468994	19630802

L4 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:37950 HCAPLUS
DOCUMENT NUMBER: 66:37950
TITLE: Indolizine derivatives
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: U.S., 6 pp. Division of U.S. 3245990
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3268535		19660823	US 1965-463926	19650614

L4 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:2475 HCAPLUS
DOCUMENT NUMBER: 66:2475
TITLE: Octahydro-3-oxoindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3274202		19660920	US 1963-269879	19630402

L4 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:482196 HCAPLUS
DOCUMENT NUMBER: 65:82196
ORIGINAL REFERENCE NO.: 65:15351d-h,15352a-c
TITLE: Octahydro-3-oxo-2-indolizinecarboxylic acids
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3268540		19660823	US 1965-463909	19650614
PRIORITY APPLN. INFO.:			US	19650614

L4 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:104086 HCAPLUS
DOCUMENT NUMBER: 64:104086
ORIGINAL REFERENCE NO.: 64:19563e-h
TITLE: 2-(Pyrrolidino and morpholino)carbonyl-3-oxooctahydroindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3245990		19660412	US 1965-463863	19650614
PRIORITY APPLN. INFO.:			US	19650614

L4 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:93375 HCAPLUS
DOCUMENT NUMBER: 64:93375
ORIGINAL REFERENCE NO.: 64:17557b-h,17558a-c
TITLE: Octahydroindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3245991		19660412	US	19630402
PRIORITY APPLN. INFO.:			US	19630402

L4 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:462961 HCAPLUS
DOCUMENT NUMBER: 63:62961
ORIGINAL REFERENCE NO.: 63:11513b-h,11514a-d
TITLE: Oxo- and hydroxyspiroindanindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3189611		19650615	US 1963-269887	19630402
PRIORITY APPLN. INFO.:			US	19630402

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L4 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:652533 HCAPLUS
DOCUMENT NUMBER: 141:191073
TITLE: Preparation of piperazines as melanocortin-specific agonists, antagonists, or mixed agonists and antagonists.
INVENTOR(S): Sharma, Shubh D.; Shi, Yi-qun; Wu, Zhijun; Rajpurohit, Ramesh
PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of Appl. No. PCT/US02/25574.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157264	A1	20040812	US 2004-762079	20040121
WO 2003013571	A1	20030220	WO 2002-US25574	20020812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2005102340	A1	20051103	WO 2004-US1462	20040121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005130988	A1	20050616	US 2005-36282	20050114
US 2005124636	A1	20050609	US 2005-40838	20050121
US 2005176728	A1	20050811	US 2005-99814	20050405

PRIORITY APPLN. INFO.:

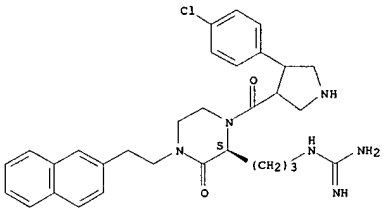
US 2001-311404P	P	20010810
WO 2002-US25574	A2	20020812
US 2003-474497P	P	20030530
US 2003-467442P	P	20030501
US 2004-536606P	P	20040114

L4 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2004-538100P	P	20040121
US 2004-761889	A2	20040121
US 2004-762079	A2	20040121
US 2004-546393P	P	20040219
US 2004-559741P	P	20040405
US 2004-563739P	P	20040419
US 2004-837519	A2	20040430

OTHER SOURCE(S): MARPAT 141:191073
IT **738600-02-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazines as melanocortin-specific agonists, antagonists,
or mixed agonists and antagonists)
RN 738600-02-7 HCAPLUS
CN Piperazinone, 3-[3-[(aminoiminomethyl)amino]propyl]-4-[[4-(4-chlorophenyl)-3-pyrrolidinyl]carbonyl]-1-[2-(2-naphthalenyl)ethyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:221465 HCAPLUS
DOCUMENT NUMBER: 138:255249
TITLE: Preparation of piperazine and homopiperazine compounds useful in the treatment of thrombosis and to inhibit ADP-mediated platelet aggregation
INVENTOR(S): Levy, Daniel E.; Smyth, Mark S.; Scarborough, Robert M.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022214	A2	20030320	WO 2002-US28618	20020906
WO 2003022214	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003153556	A1	20030814	US 2002-237153	20020906

PRIORITY APPLN. INFO.:

US 2001-317192P	P	20010906

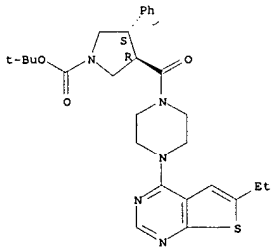
OTHER SOURCE(S): MARPAT 138:255249
IT **502647-80-5P 502647-82-7P 502648-62-6P 502648-64-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of piperazine and homopiperazine compds. useful in treatment of
thrombosis and to inhibit ADP-mediated platelet aggregation)
RN 502647-80-5 HCAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[4-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-1-piperazinyl]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester, (3R,4S)-rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502647-79-2
CMF C28 H35 N5 O3 S

Relative stereochemistry.

L4 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

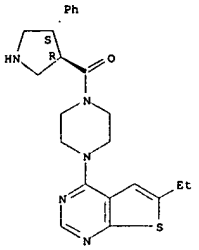
RN 502647-82-7 HCAPLUS
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-[[(3R,4S)-4-phenyl-3-pyrrolidinyl]carbonyl]-, rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502647-81-6
CMF C23 H27 N5 O S

Relative stereochemistry.

L4 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

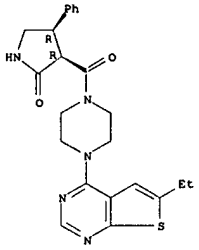
RN 502648-62-6 HCAPLUS
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-[[(3R,4R)-2-oxo-4-phenyl-3-pyrrolidinyl]carbonyl]-, rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502648-61-5
CMF C23 H25 N5 O2 S

Relative stereochemistry.

L4 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 76-05-1
CMF C2 H F3 O2

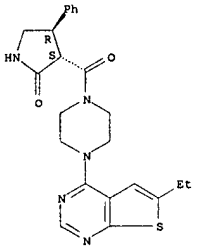
RN 502648-64-8 HCAPLUS
CN Piperazine, 1-(6-ethylthieno[2,3-d]pyrimidin-4-yl)-4-[[(3R,4S)-2-oxo-4-phenyl-3-pyrrolidinyl]carbonyl]-, rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 502648-63-7
CMF C23 H25 N5 O2 S

Relative stereochemistry.

L4 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



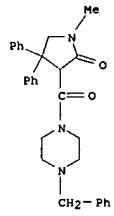
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:152411 HCAPLUS
DOCUMENT NUMBER: 88:152411
TITLE: Heterocyclic amide derivatives
INVENTOR(S): Yuki, Hiroshi; Setoguchi, Nobuo
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52156859	A2	19771227	JP 1976-72457	19760618
PRIORITY APPLN. INFO.:			JP 1976-72457 A	19760618

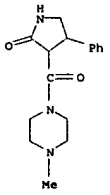
IT **62836-29-7P 62836-31-1P 62836-36-6P**
62836-40-2P 62836-44-6P 62836-45-7P
66157-97-9P 66158-01-8P 66158-02-9P
66158-09-6P 66178-96-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62836-29-7 HCAPLUS
CN Piperazine, 1-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

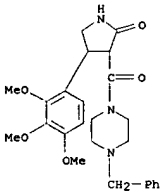
RN 62836-31-1 HCAPLUS
CN Piperazine, 1-methyl-4-[(2-oxo-4-phenyl-3-pyrrolidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



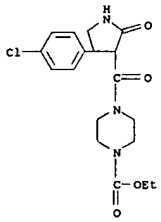
● HCl

RN 62836-36-6 HCAPLUS
CN Piperazine, 1-[[2-oxo-4-(2,3,4-trimethoxyphenyl)-3-pyrrolidinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

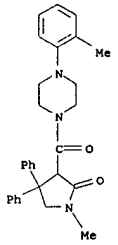


RN 62836-40-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(4-chlorophenyl)-2-oxo-3-pyrrolidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

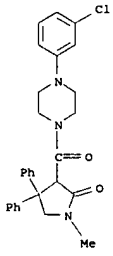


RN 62836-44-6 HCAPLUS
CN Piperazine, 1-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

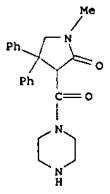


RN 62836-45-7 HCAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



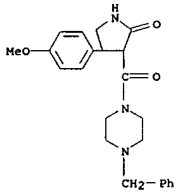
RN 66157-97-9 HCAPLUS
CN Piperazine, 1-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

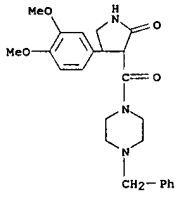
RN 66158-01-8 HCAPLUS
CN Piperazine, 1-[[4-(4-methoxyphenyl)-2-oxo-3-pyrrolidinyl]carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

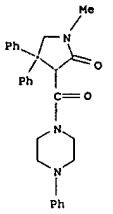
RN 66158-02-9 HCAPLUS
CN Piperazine, 1-[[4-(3,4-dimethoxyphenyl)-2-oxo-3-pyrrolidinyl]carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



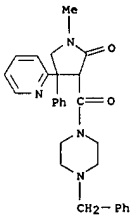
● HCl

RN 66158-09-6 HCAPLUS
CN Piperazine, 1-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]-4-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 66178-96-9 HCAPLUS
CN Piperazine, 1-[[1-methyl-2-oxo-4-phenyl-4-(2-pyridinyl)-3-pyrrolidinyl]carbonyl]-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



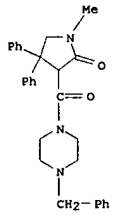
● 2 HCl

L4 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1977:406018 HCAPLUS
DOCUMENT NUMBER: 87:6018
TITLE: Amides
INVENTOR(S): Yuki, Hiroshi; Setoguchi, Shinro
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51131870	A2	19761116	JP 1975-9020	19750120
PRIORITY APPLN. INFO.:			JP 1975-9020 A	19750120

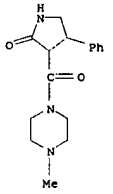
IT **62836-29-7P 62836-31-1P 62836-36-6P 62836-40-2P 62836-44-6P 62836-45-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62836-29-7 HCAPLUS
CN Piperazine, 1-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

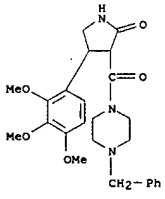
RN 62836-31-1 HCAPLUS
CN Piperazine, 1-methyl-4-[(2-oxo-4-phenyl-3-pyrrolidinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



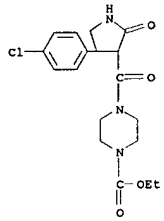
● HCl

RN 62836-36-6 HCAPLUS
CN Piperazine, 1-[[2-oxo-4-(2,3,4-trimethoxyphenyl)-3-pyrrolidinyl]carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

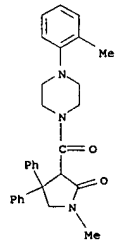


RN 62836-40-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[4-(4-chlorophenyl)-2-oxo-3-pyrrolidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

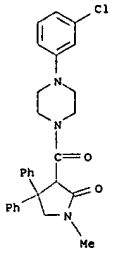


RN 62836-44-6 HCAPLUS
CN Piperazine, 1-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 62836-45-7 HCAPLUS
CN Piperazine, 1-(3-chlorophenyl)-4-[(1-methyl-2-oxo-4,4-diphenyl-3-pyrrolidinyl)carbonyl]- (9CI) (CA INDEX NAME)

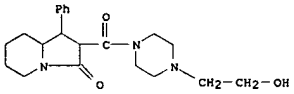
L4 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



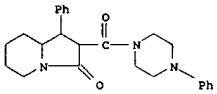
L4 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:500020 HCAPLUS
DOCUMENT NUMBER: 67:100020
TITLE: Octahydro-3-oxoindolizinecarboxylates
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3297704		19670110	US 1965-468994	19630802

IT **3409-15-2P 6072-42-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 3409-15-2 HCAPLUS
CN 1-Piperazineethanol,
4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-
(7CI, 8CI) (CA INDEX NAME)



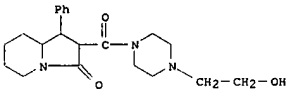
RN 6072-42-0 HCAPLUS
CN Piperazine,
1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl-
(7CI, 8CI) (CA INDEX NAME)



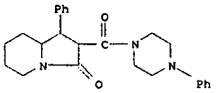
L4 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:37950 HCAPLUS
DOCUMENT NUMBER: 66:37950
TITLE: Indolizine derivatives
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: U.S., 6 pp. Division of U.S. 3245990
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3268535		19660823	US 1965-463926	19650614

IT **3409-15-2P 6072-42-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 3409-15-2 HCAPLUS
CN 1-Piperazineethanol,
4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-
(7CI, 8CI) (CA INDEX NAME)



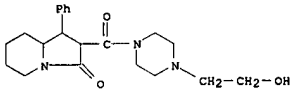
RN 6072-42-0 HCAPLUS
CN Piperazine,
1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl-
(7CI, 8CI) (CA INDEX NAME)



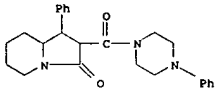
L4 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:2475 HCAPLUS
DOCUMENT NUMBER: 66:2475
TITLE: Octahydro-3-oxoindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3274202		19660920	US 1963-269879	19630402

IT **3409-15-2P 6072-42-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 3409-15-2 HCAPLUS
CN 1-Piperazineethanol,
4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-
(7CI, 8CI) (CA INDEX NAME)



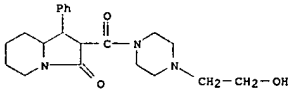
RN 6072-42-0 HCAPLUS
CN Piperazine,
1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl-
(7CI, 8CI) (CA INDEX NAME)



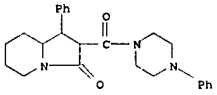
L4 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:482196 HCAPLUS
DOCUMENT NUMBER: 65:82196
ORIGINAL REFERENCE NO.: 65:15351d-h,15352a-c
TITLE: Octahydro-3-oxo-2-indolizinecarboxylic acids
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3268540		19660823	US 1965-463909	19650614
PRIORITY APPLN. INFO.:			US	19650614

IT **3409-15-2**, 1-Piperazineethanol, 4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- **6072-42-0**, Piperazine, 1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl- (preparation of)
RN 3409-15-2 HCAPLUS
CN 1-Piperazineethanol, 4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- (7CI, 8CI) (CA INDEX NAME)



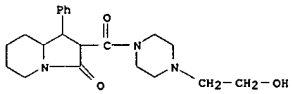
RN 6072-42-0 HCAPLUS
CN Piperazine, 1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl- (7CI, 8CI) (CA INDEX NAME)



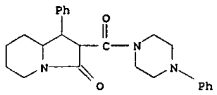
L4 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:104086 HCAPLUS
DOCUMENT NUMBER: 64:104086
ORIGINAL REFERENCE NO.: 64:19563e-h
TITLE: 2-(Pyrrolidino and morpholino)carbonyl-3-oxooctahydroindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3245990		19660412	US 1965-463863	19650614
PRIORITY APPLN. INFO.:			US	19650614

IT **3409-15-2**, 1-Piperazineethanol, 4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- **6072-42-0**, Piperazine, 1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl- (preparation of)
RN 3409-15-2 HCAPLUS
CN 1-Piperazineethanol, 4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- (7CI, 8CI) (CA INDEX NAME)



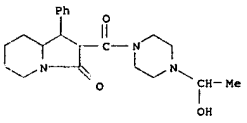
RN 6072-42-0 HCAPLUS
CN Piperazine, 1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl- (7CI, 8CI) (CA INDEX NAME)



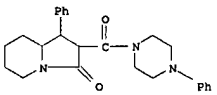
L4 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1966:93375 HCAPLUS
DOCUMENT NUMBER: 64:93375
ORIGINAL REFERENCE NO.: 64:17557b-h,17558a-c
TITLE: Octahydroindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3245991		19660412	US	19630402
PRIORITY APPLN. INFO.:			US	19630402

IT **5501-77-9**, 1-Piperazinemethanol, α-methyl-4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- **6072-42-0**, Piperazine, 1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl- (preparation of)
RN 5501-77-9 HCAPLUS
CN 1-Piperazinemethanol, α-methyl-4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- (7CI, 8CI) (CA INDEX NAME)



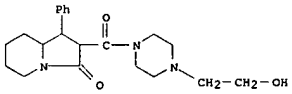
RN 6072-42-0 HCAPLUS
CN Piperazine, 1-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]-4-phenyl- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:462961 HCAPLUS
DOCUMENT NUMBER: 63:62961
ORIGINAL REFERENCE NO.: 63:11513b-h,11514a-d
TITLE: Oxo- and hydroxyspiroindanindolizines
INVENTOR(S): Mohrbacher, Richard J.
PATENT ASSIGNEE(S): McNeil Laboratories, Inc.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3189611		19650615	US 1963-269887	19630402
PRIORITY APPLN. INFO.:			US	19630402

IT **3409-15-2**, 1-Piperazineethanol, 4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- (preparation of)
RN 3409-15-2 HCAPLUS
CN 1-Piperazineethanol, 4-[(octahydro-3-oxo-1-phenyl-2-indolizinyl)carbonyl]- (7CI, 8CI) (CA INDEX NAME)



Andrew Freistein 10/788,859

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---Logging off of STN---

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	65.58	232.73

STN INTERNATIONAL LOGOFF AT 14:01:20 ON 10 MAR 2006